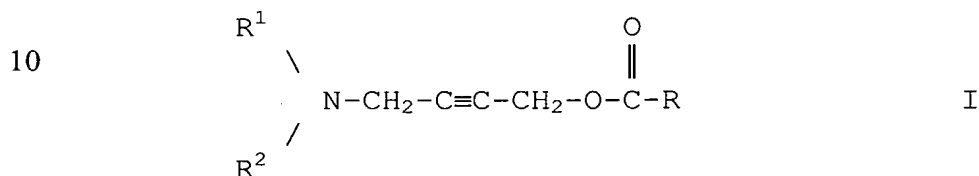


Claims

1. 4-(N-substituted amino)-2-butynyl-1-esters represented  
 5 by the following general formula I, their  
 bis-(2-butynyl)diesters and pharmaceutically  
 acceptable salts thereof,



15

wherein

20

R is a hydrogen atom; a straight-chained or  
 branched, saturated or unsaturated aliphatic  
 radical with 1-20 C-atoms which is unsubstituted  
 or substituted one or more times by C<sub>1</sub>-C<sub>6</sub>-alkyl,  
 C<sub>1</sub>-C<sub>6</sub>-alkoxy, halogen, epoxy, amino, mercapto, a  
 phenyl ring which is unsubstituted or substituted  
 one or more times by C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy,  
 25 hydroxy, epoxy, amino, mercapto or halogen; a  
 cycloalkyl group with 4 to 7 atoms unsubstituted  
 or substituted one or more times by C<sub>1</sub>-C<sub>6</sub>-alkyl,  
 C<sub>1</sub>-C<sub>6</sub>-alkoxy, hydroxy, epoxy, amino, mercapto or  
 halogen,

30

35

R<sup>1</sup> and R<sup>2</sup> are joined to form a heterocyclic ring  
 with 3 to 6 C-atoms, unsubstituted or substituted  
 one or more times by C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy,  
 hydroxy, halogen, epoxy, amino, mercapto, whereby  
 at least one C-atom can be replaced by O, S or N,  
 or

R<sup>1</sup> and R<sub>2</sub> are the same or different a hydrogen atom,  
a straight-chained or branched, saturated or  
unsaturated aliphatic radical with 1-20 C-atoms,  
unsubstituted or substituted one or more times by  
5 C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy, hydroxy, halogen,  
epoxy, amino, mercapto,

2. 4-(N-substituted amino)-2-butynyl-1-esters according  
10 to claim 1,

wherein

R is a hydrogen atom, a straight-chained or  
branched alkyl group with 1-12 C-atoms, which can  
be substituted one or more times by C<sub>1</sub>-C<sub>6</sub>-alkyl; a  
15 phenyl ring which can be substituted one or more  
times by C<sub>1</sub>-C<sub>6</sub>-alkyl; a cyclo alkyl ring with 5-6 C-  
atoms which can be substituted one or more times by  
C<sub>1</sub>-C<sub>6</sub>-alkyl.

20 3. 4-(N-substituted amino)-2-butynyl-1-esters according  
to claim 1 or 2,

wherein

R<sup>1</sup> and R<sup>2</sup> are the same alkyl group with 1-12  
25 C-atoms, which can be straight-chained or  
branched and substituted by C<sub>1</sub>-C<sub>6</sub>-alkyl,

or

R<sup>1</sup> and R<sup>2</sup> are joined to form a heterocyclic ring  
with 4 to 6 C-atoms, whereby at least one C-atom  
30 can be replaced by O, S or N, and the ring can be  
substituted by C<sub>1</sub>-C<sub>6</sub>-alkyl.

4. 4-(N-substituted amino)-2-butynyl-1-esters according to one of claims 1 to 3,

wherein

R is a hydrogen atom, a straight-chained or  
5 branched alkyl group with 1-6 C-atoms, which can be substituted one or more times by C<sub>1</sub>-C<sub>6</sub>-alkyl; a phenyl ring which can be substituted one or more times by C<sub>1</sub>-C<sub>6</sub>-alkyl; a cyclo alkyl ring with 5-6 C-atoms which can be substituted one or  
10 more times by C<sub>1</sub>-C<sub>6</sub>-alkyl,

and

R<sup>1</sup> and R<sup>2</sup> are the same alkyl group with 1-6 C-atoms, which can be straight-chained or branched and substituted by C<sub>1</sub>-C<sub>6</sub>-alkyl,

or

R<sup>1</sup> and R<sup>2</sup> are joined to form a heterocyclic ring with 4 to 6 C-atoms, whereby at least one C-atom can be replaced by O, S or N, and the ring can be substituted by C<sub>1</sub>-C<sub>6</sub>-alkyl.

5. 4-(N-substituted amino)-2-butynyl-1-esters according to claims 4,

wherein

R is H or alkyl such as methyl, ethyl, propyl, butyl, pentyl, hexyl, phenyl, tertiary butyl and cyclohexyl

and

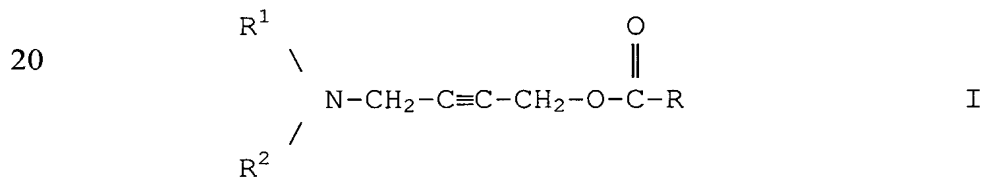
R<sup>1</sup> and R<sup>2</sup> are identically methyl, ethyl, propyl, butyl or phenyl; or form together with the N-atom a piperidino, pyrrolidino, morpholino, thiomorpholino, hexamethylene imino, piperazino and methyl piperazino ring.

6. 4-(N-substituted amino)-2-butynyl-1-esters according to claims 5, wherein 4-(N-substituted amino)-2-butynyl-1-esters are selected from the group comprising
- 5 - [N-(4-morpholino-2-butynyl)] acetate  
- [N-(4-piperidino-2-butynyl)] acetate  
- [N-(4-(N-methyl piperazino-2-butynyl)] acetate  
- [N-(4-thiomorpholino-2-butynyl)] acetate  
- [N-(4-pyrrolidino-2-butynyl)] acetate  
10 - [N-(4-hexamethylene imino-2-butynyl)] acetate  
- [N-(4-morpholino-2-butynyl)] benzoate  
- [N-(4-morpholino-2-butynyl)] formate  
- [N-(4-diethylamino-2-butynyl)] acetate  
- [N-(4-diphenylamino-2-butynyl)] acetate  
15 - [N-(4-morpholino-2-butynyl)] propionate  
- [N-(4-thiomorpholino-2-butynyl)] propionate  
- [N-(4-morpholino-2-butynyl)] pivalate  
- [N,N'-(4,4-piperazino-bis-2-butynyl)] diacetate  
- [N-(4-morpholino-2-butynyl)] cyclohexyl carboxy  
20 late.
7. Method for producing 4-(N-substituted amino)-2-butynyl-1-esters or a pharmaceutically acceptable salt according to anyone of claims 1 - 6 comprising
- 25 - a successive conversion of a propargyl alcohol in a propargyl ester by simple esterification,  
- a conversion of the propargyl ester in N-(4-amino-2-butynyl) ester by Mannich condensation to give a  
30 compound of formula I and, if desired converting a compound of formula I to a corresponding pharmaceutically salt by conventional means.

8. Method according to claim 7,  
characterized in that,  
the Mannich condensation is performed in the presence  
of paraformaldehyd, an acid catalyst, Cu-salts and a  
5 solvent.

9. Pharmaceutical composition for use in therapy,  
comprising a compound according to anyone of claims 1  
10 to 6, and a pharmaceutically-acceptable carriers,  
adjuvants, vehicles and/or diluents.

10. Use of 4-(N-substituted amino)-2-butynyl-1-esters  
15 represented by the following general formula I, their  
bis-(2-butynyl)diesters and pharmaceutically  
acceptable salts thereof,



25 wherein

R is a hydrogen atom; a straight-chained or  
branched, saturated or unsaturated aliphatic  
radical with 1-20 C-atoms which is unsubstituted  
or substituted one or more times by C<sub>1</sub>-C<sub>6</sub>-alkyl,  
30 C<sub>1</sub>-C<sub>6</sub>-alkoxy, hydroxy, halogen, epoxy, amino,  
mercapto, a phenyl ring which is unsubstituted or  
substituted one or more times by C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>1</sub>-  
C<sub>6</sub>-alkoxy, hydroxy, epoxy, amino, mercapto or  
35 halogen; a cycloalkyl group with 4 to 7 atoms  
unsubstituted or substituted one or more times by

C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy, hydroxy, epoxy, amino, mercapto or halogen,

5 R<sup>1</sup> and R<sup>2</sup> are joined to form a heterocyclic ring with 3 to 6 C-atoms, unsubstituted or substituted one or more times by C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy, hydroxy, halogen, epoxy, amino, mercapto, whereby at least one C-atom can be replaced by O, S or N, or

10 R<sup>1</sup> and R<sub>2</sub> are the same or different a hydrogen atom, a straight-chained or branched, saturated or unsaturated aliphatic radical with 1-20 C-atoms, unsubstituted or substituted one or more times by C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy, hydroxy, halogen, 15 epoxy, amino, mercapto,

for manufacturing an agent for the treatment of a cell proliferative disorder.

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11. Use according to claim 10, characterized in that, the cell proliferative disorder is a neoplasia.

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12. Use according to claim 10 or 11, characterized in that, the neoplasia the neoplasia is selected from the group consisting of leukemias, lymphomas, sarcomas, 30 carcinomas, neural cell tumors, squamous cell carcinomas, germ cell tumors, undifferentiated tumors, seminomas, melanomas, neuroblastomas, mixed cell tumors, metastatic neoplasia and neoplasia due to pathogenic infections.